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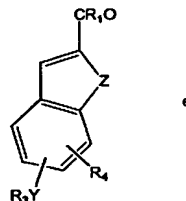
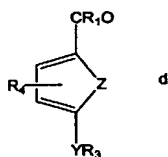
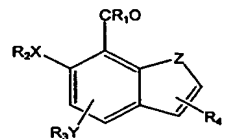
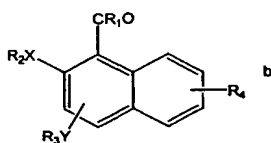
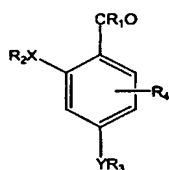
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(54) Title: CHARGE-BALANCED CHEMOSELECTIVE LINKERS



(I)

(57) Abstract: Compounds according to general formulae (Ia to Ie) wherein: X = O or S; Y is O, S or CH₂, CHR, CRR, where R is C₁₋₇ alkyl; Z is O or S; R₁ is H or C₁₋₇ alkyl; R₂ is H or C₁₋₇ alkyl; R₄ is H or C₁₋₇ alkyl at any vacant position on the aromatic ring; R₃ is C₁₋₇ alkyl-L₁-R₅-L₂-R₆-COOH, C₃₋₁₀ cycloalkyl-L₁-R₅-L₂-R₆-COOH or Ar-C₀₋₇ alkyl-L₁-R₅-L₂-R₆-COOH; each of L₁ and L₂ is absent or a suitable linker such as an amide CONH; or an ether -O-, or a thioether -S- or a sulphone -SO₂-; R₅ is C₁₋₇ alkyl, C₃₋₁₀ cycloalkyl or Ar-C₀₋₇ alkyl each of which is substituted with either NR₈R₉, where the nitrogen atom is capable of being protonated in solution to give N⁺HR₈R₉; or a quaternary nitrogen atom N⁺R₈R₉R₁₀, such that R₅ contains a positive charge; each of R₈, R₉ and R₁₀ is independently C₁₋₇ alkyl, C₃₋₁₀ cycloalkyl or Ar-C₀₋₇ alkyl, or any two or more of R₈, R₉ and R₁₀ together form an alicyclic or arylalicyclic ring system; R₆ is C₁₋₇ alkyl, C₃₋₁₀ cycloalkyl or Ar-C₀₋₇ alkyl; and their salts, hydrates, solvates, complexes or prodrugs are of use as linkers for conjugating an epitope to a carrier protein.